

chain nodes :

13 14

ring nodes :

1 2 3 4 5 6 7 8 9 10 11

chain bonds :

5-8 9-13 11-14

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-11 8-9 9-10 10-11

exact/norm bonds :

9-10 9-13 10-11 11-14

exact bonds :

5-8 7-8 7-11 8-9

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6

isolated ring systems :

containing 1 : 7 :

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom

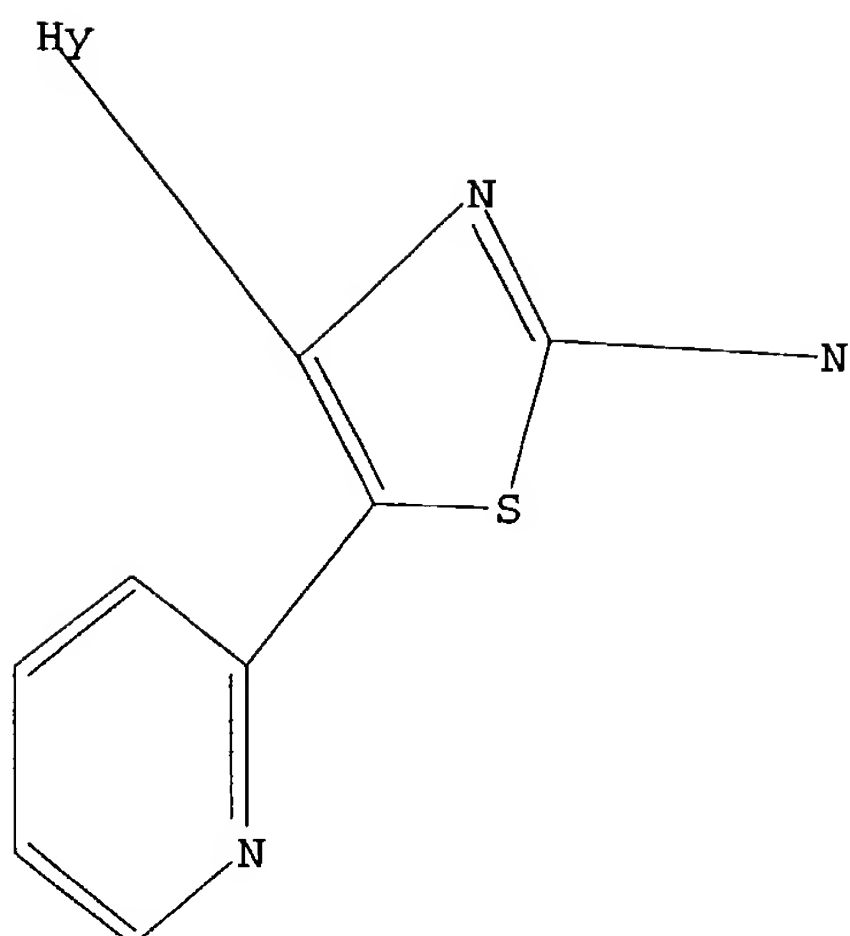
11:Atom 13:CLASS 14:CLASS

L1 STRUCTURE UPLOADED

=> d

L1 HAS NO ANSWERS

L1 STR



Structure attributes must be viewed using STN Express query preparation.

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=> s l1 ful
FULL SEARCH INITIATED 11:05:09 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 478 TO ITERATE
```

```
100.0% PROCESSED      478 ITERATIONS                18 ANSWERS
SEARCH TIME: 00.00.01
```

```
L2          18 SEA SSS FUL L1
```

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=> fil caplus
COST IN U.S. DOLLARS          SINCE FILE          TOTAL
                               ENTRY          SESSION
FULL ESTIMATED COST          155.42          155.90
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FILE 'CAPLUS' ENTERED AT 11:05:12 ON 24 AUG 2004
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FILE COVERS 1907 - 24 Aug 2004  VOL 141 ISS 9
FILE LAST UPDATED: 23 Aug 2004  (20040823/ED)
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This file contains CAS Registry Numbers for easy and accurate substance identification.

L3 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2004:267326 CAPLUS

DOCUMENT NUMBER: 140:287371

TITLE: Preparation of 2-(oxazol-4-yl)pyridines and related compounds as transforming growth factor (TGF) inhibitors for the treatment of cancer and fibrotic diseases

INVENTOR(S): Blumberg, Laura Cook; Munchhof, Michael John

PATENT ASSIGNEE(S): Pfizer Products Inc., USA

SOURCE: PCT Int. Appl., 72 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

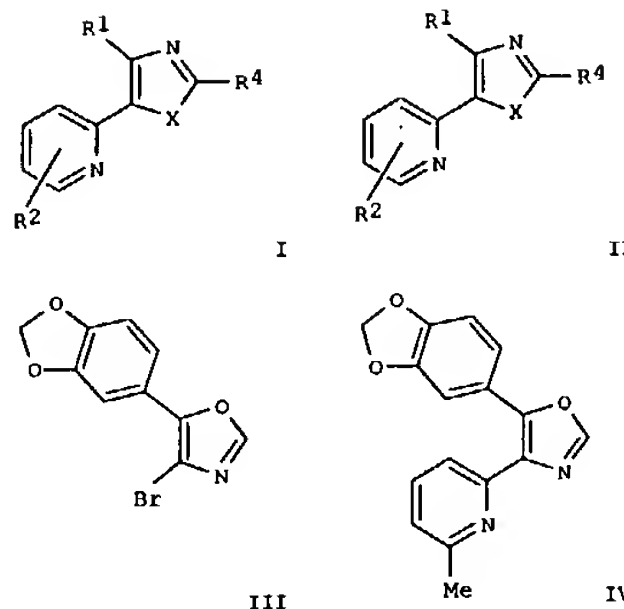
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004026863	A1	20040401	WO 2003-1B3823	20030908
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
US 2004110797	A1	20040610	US 2003-667187	20030917
PRIORITY APPLN. INFO.:			US 2002-412120P	P 20020918
			US 2003-471265P	P 20030516
			US 2003-484581P	P 20030702

OTHER SOURCE(S): MARPAT 140:287371  
GI

L3 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN

(Continued)



AB Title compds. I and II [X = O, S; R1 = (un)saturated aromatic, monocyclic, bicyclic, etc.; R2 = (R3)s; R3 = H, halo, halo-alkyl, etc.; s = 1-5; R4 = H, halo, halo-alkyl, etc.] and their pharmaceutically acceptable salts were prepared For example, Stille coupling of bromide III e.g., prepared from

benzo[1,3]dioxole-5-carboxaldehyde in 2-steps, and 2-bromo-6-methylpyridine afforded oxazole IV in 70% yield. In  $\beta$ 1-transforming growth factors kinase assays, 10-examples of compds. I and II exhibited IC50 values ranging from 19.7-600 nM. Of note, compds. I and II also possess differential activity, i.e. are selective for  $\beta$ 1-TGF over  $\beta$ 2-TGF and  $\beta$ 3-TGF. Compds. I and II are claimed useful for the treatment of TGF-related disease states including cancer and fibrotic diseases.

IT 676165-90-5P

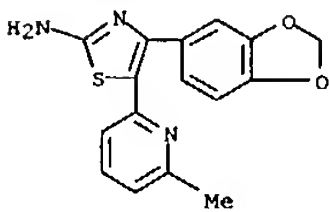
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 2-(oxazol-4-yl)pyridines and related compds. as transforming growth factor (TGF) inhibitors for the treatment of cancer and fibrotic diseases)

RN 676165-90-5 CAPLUS

CN 2-Thiazolamine, 4-(1,3-benzodioxol-5-yl)-5-(6-methyl-2-pyridinyl)- (9CI)  
(CA INDEX NAME)

L3 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS

FORMAT

RECORD. ALL CITATIONS AVAILABLE IN THE RE

L3 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2004:120851 CAPLUS

DOCUMENT NUMBER: 140:181331

TITLE: Preparation of 2-phenylpyridin-4-yl heterocycles as selective activin-like kinase-5 inhibitors useful against fibrosis and other disorders

INVENTOR(S): Dodic, Nerina; Gellibert, Francoise Jeanne

PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA

SOURCE: PCT Int. Appl., 119 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

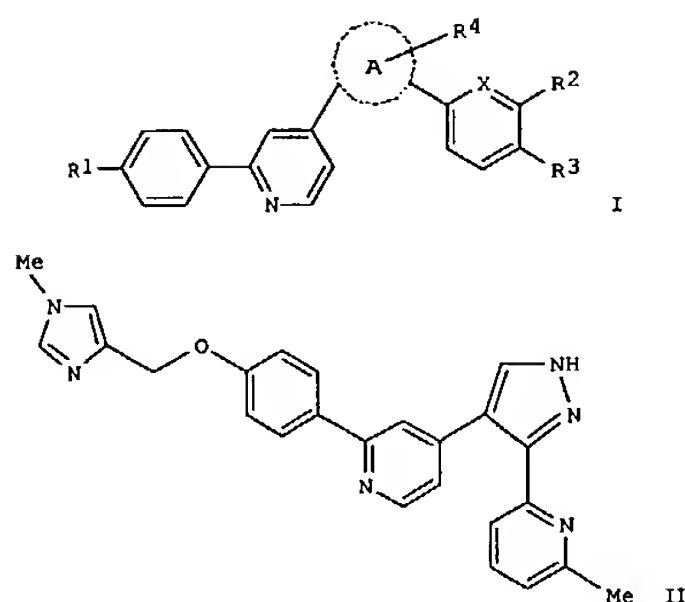
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004013135	A1	20040212	WO 2003-EP8496	20030729
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RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
PRIORITY APPLN. INFO.:			GB 2002-17751	A 20020731
			GB 2003-14698	A 20030624

OTHER SOURCE(S): MARPAT 140:181331

GI

L3 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



AB This invention relates to novel 2-phenylpyridin-4-yl heterocycles (shown as I; variables defined below; e.g. II) that are inhibitors of the transforming growth factor, ('TGF')- $\beta$  signaling pathway, in particular, the phosphorylation of Smad-2 or Smad-3 by the TGF- $\beta$  type I or activin-like kinase ('ALK')-5 receptor, methods for their preparation and their use in medicine, specifically in the treatment and prevention of a disease state mediated by this pathway, e.g. fibrosis (no data). All examples of I show ALK-5 receptor modulator activity (having IC50 values at 0.4-275 nM) and TGF- $\beta$  cellular activity (having IC50 values at 0.001-10  $\mu$ M). 4-[4-[4-[2-tert-Butyl-5-(6-methylpyridin-2-yl)-1H-imidazol-4-yl]pyridin-2-yl]phenyl]morpholine showed an ALK-5 receptor modulator activity of 34 nM and TGF- $\beta$  cellular activity of 183 nM. N-(tetrahydropyran-4-yl)-4-[4-[2-isopropyl-5-(6-methylpyridin-2-yl)-1H-imidazol-4-yl]pyridin-2-yl]benzamide showed an ALK-5 receptor modulator activity of 25 nM and TGF- $\beta$  cellular activity of <14 nM. Although the methods of preparation are not claimed, >150 example preps. of I and approx.130 example preps. of intermediates are included. For example,

II was prepared in 37% yield by reacting 4-[4-[3-(6-methylpyridin-2-yl)-1-trityl-1H-pyrazol-4-yl]pyridin-2-yl]phenol and NaH in DMF with 1-methyl-4-hydroxymethylimidazole followed by removal of the trityl group using HCl in MeOH; details are also given for preparation of the reactants.

For I: A is furan, dioxolane, thiophene, pyrrole, imidazole, pyrrolidine, pyran, pyridine, pyrimidine, morpholine, piperidine, oxazole, isoxazole, oxazoline, oxazolidine, thiazole, isothiazole, thiadiazole, benzofuran, indole, isoindole, indazole, imidazopyridine, quinazoline, quinoline,

L3 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) isoquinoline, pyrazole or triazole; X is N or CH; R1 is H, Cl-6alkyl, Cl-6alkenyl, Cl-6alkoxy, halo, cyano, perfluoro Cl-6alkyl, perfluoroCl-6alkoxy, -NR5R6, -(CH2)nNR5R6, -O(CH2)nOR7, -O(CH2)n-NH-R7, -O(CH2)nNR5R6, -CONR5R6, -CO(CH2)nNR5R6, -SO2R7, -SO2NR5R6, -NR5SO2R7, -NR5COR7, -O(CH2)nCONR5R6, -NR5CO(CH2)nNR5R6 or -C(O)R7; R2 is H, Cl-6alkyl, halo, cyano or perfluoroCl-6alkyl; R3 is H or halo; R4 is H, halo, Ph, Cl-6alkyl or -NR5R6; addnl. details including provisos are given

in the claims.  
IT 656258-00-3P, 4-[2-(4-Chlorophenyl)pyridin-4-yl]-5-(6-methylpyridin-2-yl)-1,3-thiazol-2-amine 656258-01-4P, 4-[2-[4-(Trifluoromethoxy)phenyl]pyridin-4-yl]-5-(6-methylpyridin-2-yl)-1,3-thiazol-2-amine 656258-02-5P, 4-[2-[4-

(Ethanesulfonyl)phenyl]pyridin-4-yl]-5-(6-methylpyridin-2-yl)-1,3-thiazol-2-amine 656258-03-6P, 4-[2-[4-[(Tetrahydropyran-4-yl)amino]carbonyl]phenyl]pyridin-4-yl]-5-(6-methylpyridin-2-yl)-1,3-thiazol-2-amine 656258-04-7P, 4-[2-[4-[(Morpholin-4-yl)carbonyl]phenyl]pyridin-4-yl]-5-(6-methylpyridin-2-yl)-1,3-thiazol-2-amine 656258-05-8P, 4-[2-[4-[(4-Ethylpiperazin-1-yl)carbonyl]phenyl]pyridin-4-yl]-5-(6-methylpyridin-2-yl)-1,3-thiazol-2-amine 656258-06-9P, 4-[2-[4-[(Morpholin-4-yl)methyl]phenyl]pyridin-4-yl]-5-(6-methylpyridin-2-yl)-1,3-thiazol-2-amine 656258-07-0P, 4-[2-[4-(Morpholin-4-yl)phenyl]pyridin-4-yl]-5-(6-methylpyridin-2-yl)-1,3-thiazol-2-amine 656258-08-1P,

4-[2-[4-[2-(Pyrrolidin-1-yl)ethoxy]phenyl]pyridin-4-yl]-5-(6-methylpyridin-2-yl)-1,3-thiazol-2-amine 656258-09-2P, 4-[2-[4-(Aminocarbonylmethoxy)phenyl]pyridin-4-yl]-5-(6-methylpyridin-2-yl)-1,3-thiazol-2-amine 656258-10-5P, 4-[2-[4-[(Morpholin-4-yl)carbonyl]methoxy]phenyl]pyridin-4-yl]-5-(6-methylpyridin-2-yl)-1,3-thiazol-2-amine 656258-11-6P, 4-[2-[4-[(Pyrrolidin-1-yl)methyl]phenyl]pyridin-4-yl]-5-(6-methylpyridin-2-yl)-1,3-thiazol-2-amine 656258-12-7P, 4-[2-[4-[(Dimethylamino)methyl]phenyl]pyridin-4-yl]-5-(6-methylpyridin-2-yl)-1,3-thiazol-2-amine 656258-13-8P, 4-[2-[4-[(Tetrahydropyran-4-yl)amino]carbonyl]phenyl]pyridin-4-yl]-5-(pyridin-2-yl)-1,3-thiazol-2-amine 656258-14-9P,

4-[2-[4-(Morpholin-4-yl)phenyl]pyridin-4-yl]-5-(pyridin-2-yl)-1,3-thiazol-2-amine

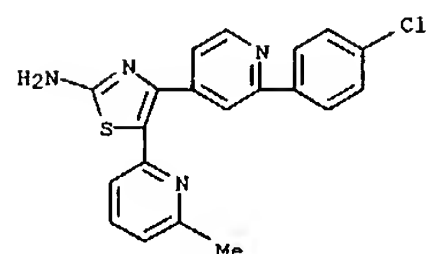
RI: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of 2-phenylpyridin-4-yl heterocycles as selective activin-like kinase-5 inhibitors useful against fibrosis and other disorders)

RN 656258-00-3 CAPLUS

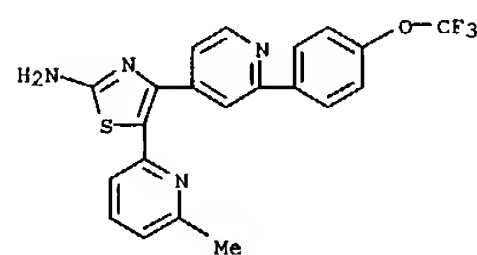
CN 2-Thiazolamine, 4-[2-(4-chlorophenyl)-4-pyridinyl]-5-(6-methyl-2-pyridinyl)- (9CI) (CA INDEX NAME)

L3 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



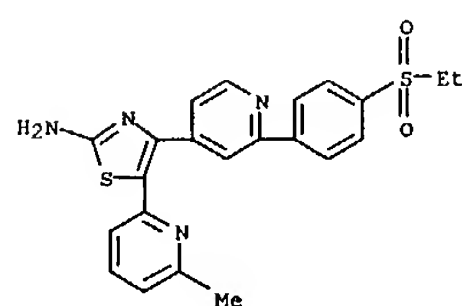
RN 656258-01-4 CAPLUS

CN 2-Thiazolamine, 5-(6-methyl-2-pyridinyl)-4-[2-[4-(trifluoromethoxy)phenyl]-4-pyridinyl]- (9CI) (CA INDEX NAME)



RN 656258-02-5 CAPLUS

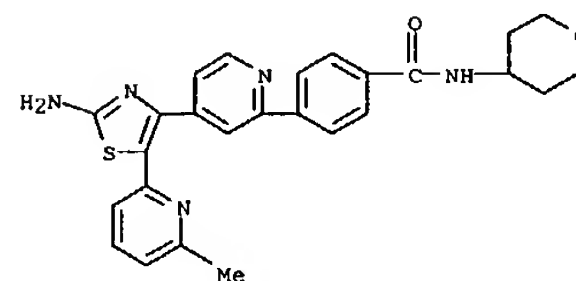
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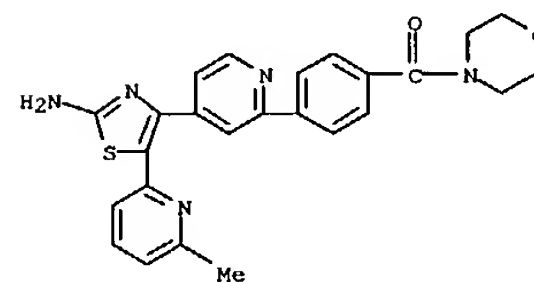
CN Benzamide, 4-[4-[2-amino-5-(6-methyl-2-pyridinyl)-4-thiazolyl]-2-pyridinyl]-N-(tetrahydro-2H-pyran-4-yl)- (9CI) (CA INDEX NAME)

L3 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



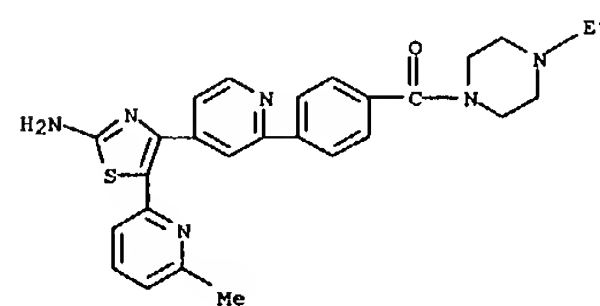
RN 656258-04-7 CAPLUS

CN Morpholine, 4-[4-[4-[2-amino-5-(6-methyl-2-pyridinyl)-4-thiazolyl]-2-pyridinyl]benzoyl]- (9CI) (CA INDEX NAME)



RN 656258-05-8 CAPLUS

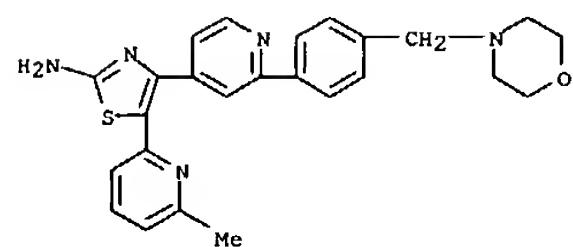
CN Piperazine, 1-[4-[4-[2-amino-5-(6-methyl-2-pyridinyl)-4-thiazolyl]-2-pyridinyl]benzoyl]-4-ethyl- (9CI) (CA INDEX NAME)



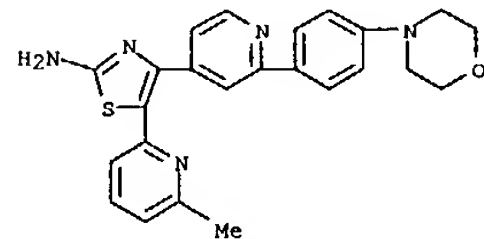
RN 656258-06-9 CAPLUS

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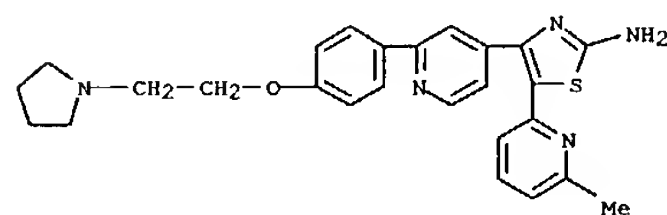
L3 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 656258-07-0 CAPLUS  
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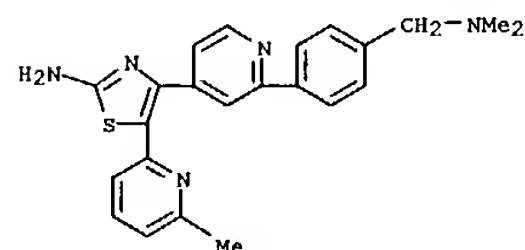


RN 656258-08-1 CAPLUS  
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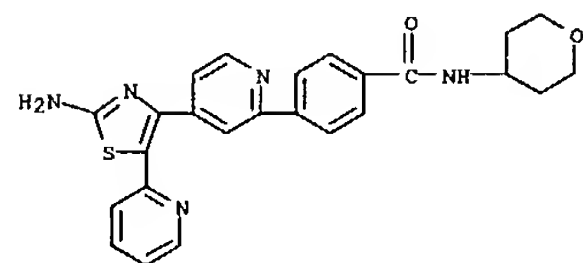


RN 656258-09-2 CAPLUS  
 CN Acetamide, 2-[4-[4-[2-amino-5-(6-methyl-2-pyridinyl)-4-thiazolyl]-2-pyridinyl]phenoxy]- (9CI) (CA INDEX NAME)

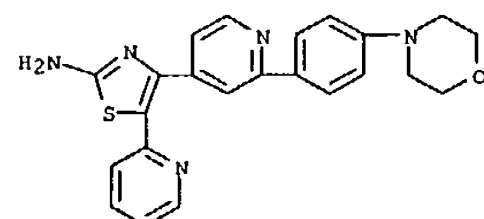
L3 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



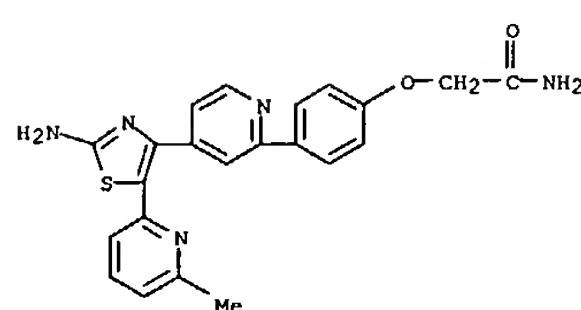
RN 656258-13-8 CAPLUS  
 CN Benzamide, 4-[4-[2-amino-5-(2-pyridinyl)-4-thiazolyl]-2-pyridinyl]-N-(tetrahydro-2H-pyran-4-yl)- (9CI) (CA INDEX NAME)



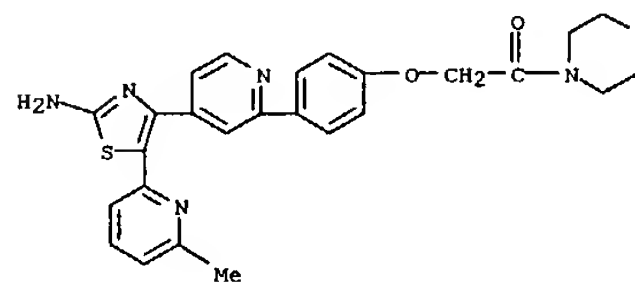
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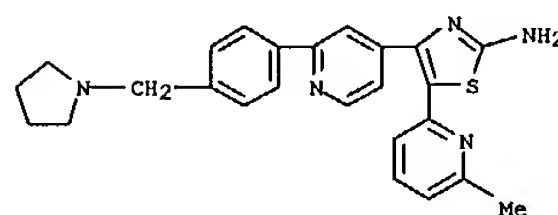
L3 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 656258-10-5 CAPLUS  
 CN Morpholine, 4-[[4-[4-[2-amino-5-(6-methyl-2-pyridinyl)-4-thiazolyl]-2-pyridinyl]phenoxy]acetyl]- (9CI) (CA INDEX NAME)



RN 656258-11-6 CAPLUS  
 CN 2-Thiazolamine, 5-(6-methyl-2-pyridinyl)-4-[2-[4-(1-pyrrolidinylmethyl)phenyl]-4-pyridinyl]- (9CI) (CA INDEX NAME)



RN 656258-12-7 CAPLUS  
 CN 2-Thiazolamine, 4-[2-[4-[(dimethylamino)methyl]phenyl]-5-(6-methyl-2-pyridinyl)]- (9CI) (CA INDEX NAME)

L3 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2004:120850 CAPLUS  
 DOCUMENT NUMBER: 140:163858  
 TITLE: Preparation of aminothiazoles as inhibitors of the transforming growth factor-beta (TGF-β) signalling pathway  
 INVENTOR(S): Dodic, Nerina; Gellibert, Françoise Jeanne  
 PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA  
 SOURCE: PCT Int. Appl., 69 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004013134	A2	20040212	WO 2003-EP8385	20030729
WO 2004013134	A3	20040325		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: GB 2002-17787 A 20020731

OTHER SOURCE(S): MARPAT 140:163858  
 GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Title compds. I [wherein either A = S and B = N, or A = N and B = S; X = CH or N; R1 = H, alk(en)yl, perfluoro/alkoxy, halo, CN, perfluoroalkyl, NH2 and derivs., (CH2)nNH2 and derivs., CONH2 and derivs., SO2H and derivs., SO2NH2 and derivs., etc.; R2 = H, perfluoro/alkyl, halo, CN; R3 =

H, halo; R4 = NH2; n = 1-4 with the proviso that certain compds. are not considered] were prepared as inhibitors of the transforming growth factor-beta (TGF-β) signaling pathway, in particular, the phosphorylation of smad2 or smad3 by the TGF-β type I or activin-like kinase-5 (ALK-5) receptor for treatment and prevention of a disease state mediated by this pathway. For example, II was prepd by reaction of 2-bromo-4-methylpyridine with Me 6-methylpicolinate, Pd-cross coupling with 4-(methoxycarbonyl)phenylboronic acid, hydrolysis, acylation of 4-aminoterahydrofuran with the resulting acid, followed by solid phase cyclocondensation of III with thiourea. II showed an ALK5 receptor modulator activity of 14 nM in an ALK5 fluorescence polarization assay and TGF-β cellular activity of 29 nM in a cellular transcriptional assay. Thus, I are useful for treating or preventing a disease or condition mediated by ALK-5 inhibition, in particular kidney fibrosis.

L3 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)  
IT 656258-00-3P, 4-[2-(4-Chlorophenyl)pyridin-4-yl]-5-(6-methylpyridin-2-yl)-1,3-thiazol-2-amine 656258-01-4P,

4-[2-(4-Trifluoromethoxyphenyl)pyridin-4-yl]-5-(6-methylpyridin-2-yl)-1,3-thiazol-2-amine 656258-02-5P, 4-[2-(4-

(Ethanesulfonyl)phenyl]pyridin-4-yl]-5-(6-methylpyridin-2-yl)-1,3-thiazol-2-amine 656258-03-6P, 4-[2-(4-[(Tetrahydropyran-4-yl)amino]carbonyl]phenyl]pyridin-4-yl]-5-(6-methylpyridin-2-yl)-1,3-thiazol-2-amine 656258-04-7P, 4-[2-(4-[(Morpholin-4-yl)carbonyl]phenyl]pyridin-4-yl)-5-(6-methylpyridin-2-yl)-1,3-thiazol-2-amine 656258-05-8P, 4-[2-(4-[(1-Ethylpiperazin-4-yl)carbonyl]phenyl]pyridin-4-yl)-5-(6-methylpyridin-2-yl)-1,3-thiazol-2-amine 656258-06-9P, 4-[2-(4-[(Morpholin-4-yl)methyl]phenyl]pyridin-4-yl)-5-(6-methylpyridin-2-yl)-1,3-thiazol-2-amine 656258-07-0P, 4-[2-(4-(Morpholin-4-yl)phenyl]pyridin-4-yl)-5-(6-methylpyridin-2-yl)-1,3-thiazol-2-amine 656258-08-1P,

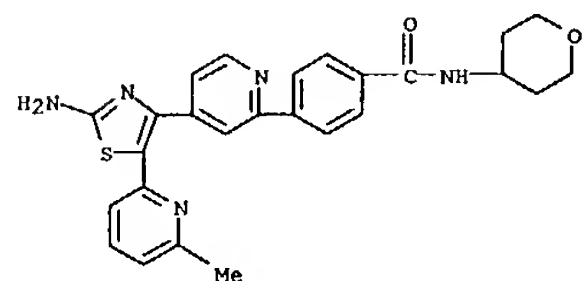
4-[2-(4-[2-(Pyrrolidin-1-yl)ethoxy]phenyl]pyridin-4-yl)-5-(6-methylpyridin-2-yl)-1,3-thiazol-2-amine 656258-09-2P, 4-[2-(4-

[(Aminocarbonyl)methyl]oxy]phenyl]pyridin-4-yl)-5-(6-methylpyridin-2-yl)-1,3-thiazol-2-amine 656258-10-5P, 4-[2-(4-[(Morpholin-4-yl)carbonyl]methyl]oxy]phenyl]pyridin-4-yl)-5-(6-methylpyridin-2-yl)-1,3-thiazol-2-amine 656258-11-6P, 4-[2-(4-[(Pyrrolidin-1-yl)methyl]phenyl]pyridin-4-yl)-5-(6-methylpyridin-2-yl)-1,3-thiazol-2-amine 656258-12-7P, 4-[2-(4-[(Dimethylamino)methyl]phenyl]pyridin-4-yl)-5-(6-methylpyridin-2-yl)-1,3-thiazol-2-amine 656258-13-8P, 4-[2-(4-[(Tetrahydropyran-4-yl)amino]carbonyl]phenyl]pyridin-4-yl)-5-(pyridin-2-yl)-1,3-thiazol-2-amine 656258-14-9P,

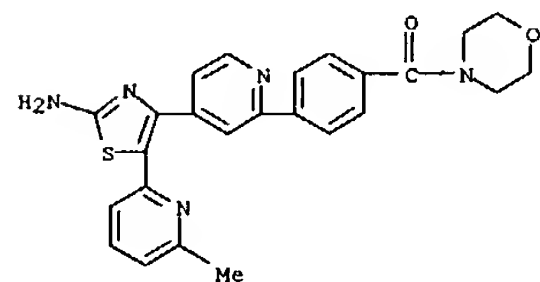
4-[2-(4-(Morpholin-4-yl)phenyl]pyridin-4-yl)-5-(pyridin-2-yl)-1,3-thiazol-2-amine  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(inhibitor of TGF- $\beta$  signaling pathway; preparation of aminothiazoles

as inhibitors of transforming growth factor-beta (TGF- $\beta$ ) signaling pathway)  
RN 656258-00-3 CAPLUS  
CN 2-Thiazolamine, 4-[2-(4-chlorophenyl)-4-pyridinyl]-5-(6-methyl-2-pyridinyl)- (9CI) (CA INDEX NAME)

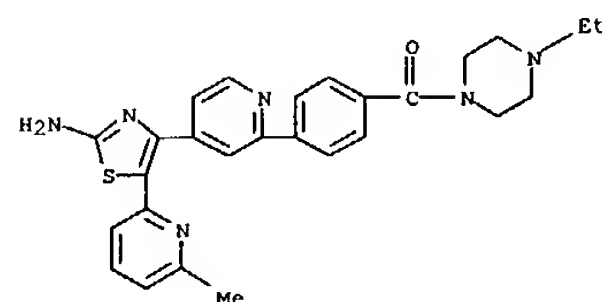
L3 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 656258-04-7 CAPLUS  
CN Morpholine, 4-[4-(4-[2-amino-5-(6-methyl-2-pyridinyl)-4-thiazolyl]-2-pyridinyl]benzoyl]- (9CI) (CA INDEX NAME)

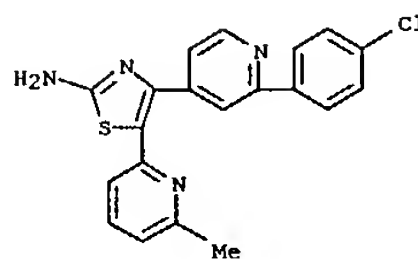


RN 656258-05-8 CAPLUS  
CN Piperazine, 1-[4-(4-[2-amino-5-(6-methyl-2-pyridinyl)-4-thiazolyl]-2-pyridinyl]benzoyl]-4-ethyl- (9CI) (CA INDEX NAME)

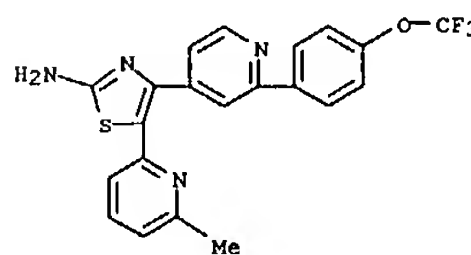


RN 656258-06-9 CAPLUS  
CN 2-Thiazolamine, 5-(6-methyl-2-pyridinyl)-4-[2-(4-(4-morpholinylmethyl)phenyl]-4-pyridinyl]- (9CI) (CA INDEX NAME)

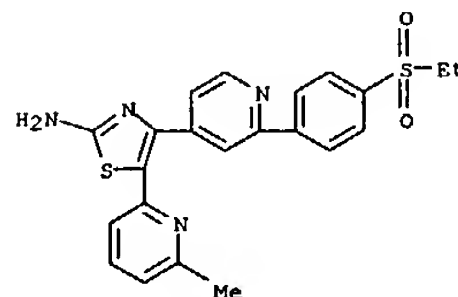
L3 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 656258-01-4 CAPLUS  
CN 2-Thiazolamine, 5-(6-methyl-2-pyridinyl)-4-[2-(4-(trifluoromethoxy)phenyl]-4-pyridinyl]- (9CI) (CA INDEX NAME)

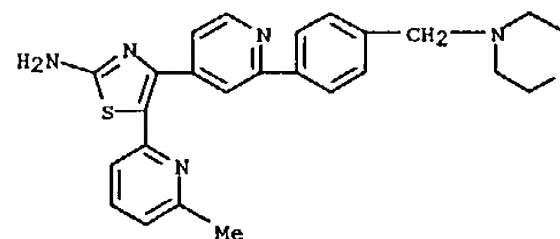


RN 656258-02-5 CAPLUS  
CN 2-Thiazolamine, 4-[2-(4-(ethylsulfonyl)phenyl)-4-pyridinyl]-5-(6-methyl-2-pyridinyl)- (9CI) (CA INDEX NAME)

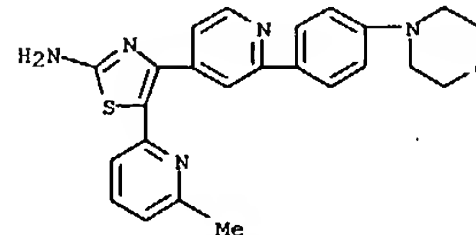


RN 656258-03-6 CAPLUS  
CN Benzamide, 4-[4-(2-amino-5-(6-methyl-2-pyridinyl)-4-thiazolyl]-2-pyridinyl]-N-(tetrahydro-2H-pyran-4-yl)- (9CI) (CA INDEX NAME)

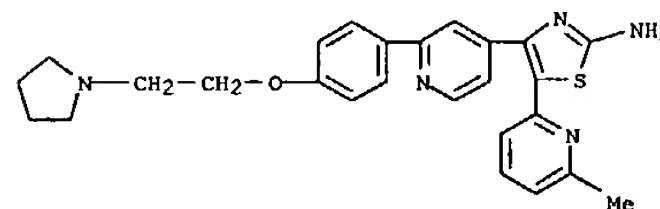
L3 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 656258-07-0 CAPLUS  
CN 2-Thiazolamine, 5-(6-methyl-2-pyridinyl)-4-[2-(4-(4-morpholinyl)phenyl]-4-pyridinyl]- (9CI) (CA INDEX NAME)

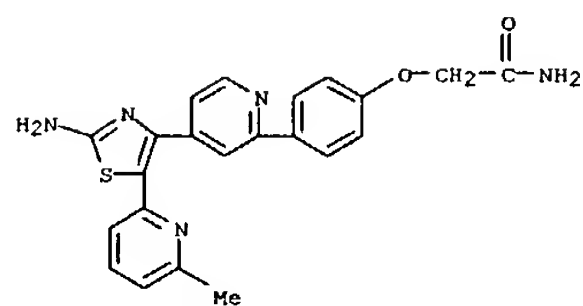


RN 656258-08-1 CAPLUS  
CN 2-Thiazolamine, 5-(6-methyl-2-pyridinyl)-4-[2-(4-[2-(1-pyrrolidinyl)ethoxy]phenyl]-4-pyridinyl]- (9CI) (CA INDEX NAME)

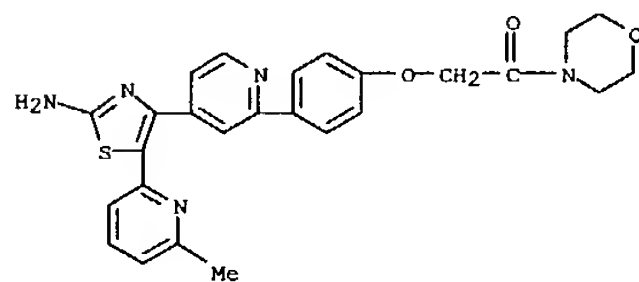


RN 656258-09-2 CAPLUS  
CN Acetamide, 2-[4-[4-(2-amino-5-(6-methyl-2-pyridinyl)-4-thiazolyl]-2-pyridinyl]phenoxy]- (9CI) (CA INDEX NAME)

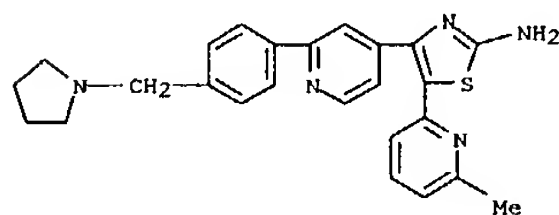
L3 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 656258-10-5 CAPLUS  
 CN Morpholine, 4-([4-[2-amino-5-(6-methyl-2-pyridinyl)-4-thiazolyl]-2-pyridinyl]phenoxy)acetyl)- (9CI) (CA INDEX NAME)

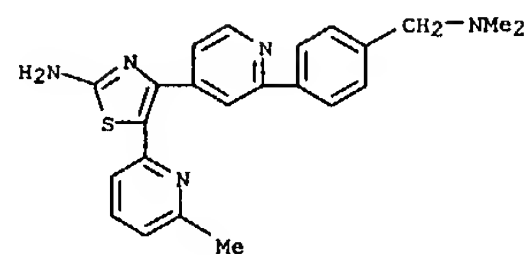


RN 656258-11-6 CAPLUS  
 CN 2-Thiazolamine, 5-(6-methyl-2-pyridinyl)-4-[2-(4-(1-pyrrolidinylmethyl)phenyl)-4-pyridinyl]- (9CI) (CA INDEX NAME)

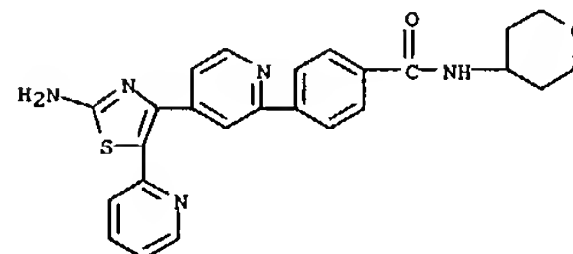


RN 656258-12-7 CAPLUS  
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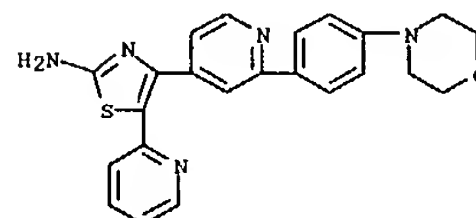
L3 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 656258-13-8 CAPLUS  
 CN Benzamide, 4-[4-[2-amino-5-(2-pyridinyl)-4-thiazolyl]-2-pyridinyl]-N-(tetrahydro-2H-pyran-4-yl)- (9CI) (CA INDEX NAME)



RN 656258-14-9 CAPLUS  
 CN 2-Thiazolamine, 4-[2-[4-(4-morpholinyl)phenyl]-4-pyridinyl]-5-(2-pyridinyl)- (9CI) (CA INDEX NAME)



L3 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1971:22749 CAPLUS

DOCUMENT NUMBER: 74:22749

TITLE: Synthesis of pyridyl- and quinolyl-substituted 2-aminothiazoles

AUTHOR(S): Taurins, Alfred; Blaga, Aurel

CORPORATE SOURCE: Dep. Chem., McGill Univ., Montreal, QC, Can.

SOURCE: Journal of Heterocyclic Chemistry (1970), 7(5), 1137-41

DOCUMENT TYPE: CODEN: JHTCAD; ISSN: 0022-152X

LANGUAGE: Journal

English

AB Five 2-amino-4-(x-pyridyl)- and 2-amino-4-(x-quinolyl)thiazoles (x = 2 or 3) were synthesized by the condensation of thiourea with bromoacetylpyridines and -quinolines. The reaction of pyridyl pyridylmethyl ketones with thiourea and halogens produced four 2-aminothiazoles possessing pyridyl substituents in 4- and 5-positions on the thiazole ring. Treatment of N-(3-pyridyl)- and

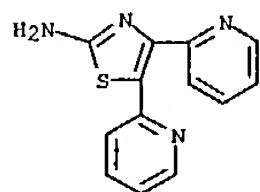
N-(3-quinolyl)thiourea with  $\alpha$ -bromo ketones gave seven 2-(3-pyridyl)amino- and 2-(3-quinolyl)aminothiazoles. The uv spectra of the pyridyl- and quinolyl-substituted 2-aminothiazoles were recorded.

IT 30235-32-6P 30235-33-7P

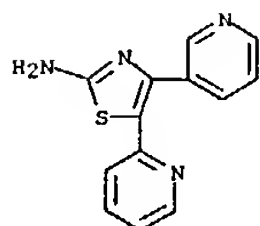
RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)

RN 30235-32-6 CAPLUS

CN Pyridine, 2,2'-(2-amino-4,5-thiazolediyl)di- (8CI) (CA INDEX NAME)



RN 30235-33-7 CAPLUS  
 CN Pyridine, 2-[2-amino-4-(4-pyridyl)-5-thiazolyl]- (8CI) (CA INDEX NAME)



=&gt;

=&gt; fil reg

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

19.48

175.38

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY

SESSION

CA SUBSCRIBER PRICE

-2.80

-2.80

FILE 'REGISTRY' ENTERED AT 11:05:31 ON 24 AUG 2004

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DICTIONARY FILE UPDATES: 23 AUG 2004 HIGHEST RN 731771-88-3

TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

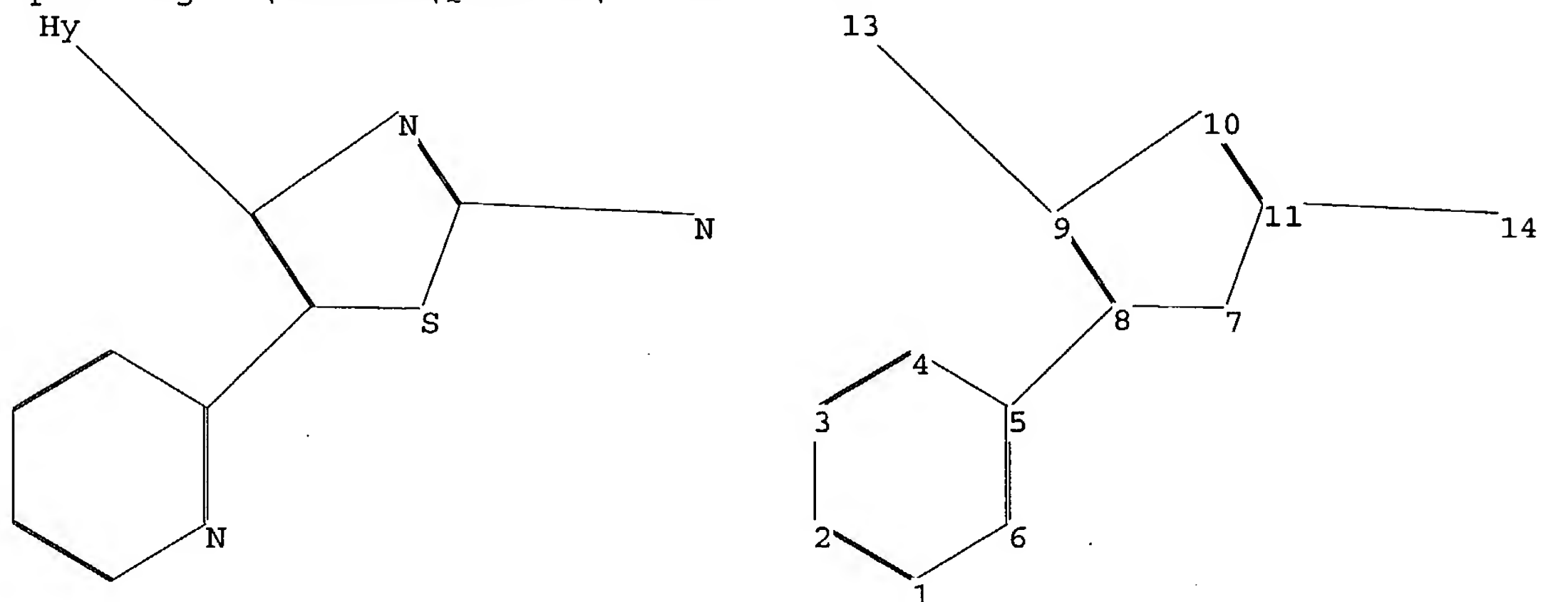
Please note that search-term pricing does apply when  
conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more  
information enter HELP PROP at an arrow prompt in the file or refer  
to the file summary sheet on the web at:  
<http://www.cas.org/ONLINE/DBSS/registryss.html>

=&gt;

Uploading C:\STNEXP4\QUERIES\10-667187.str



chain nodes :

13 14

ring nodes :

1 2 3 4 5 6 7 8 9 10 11

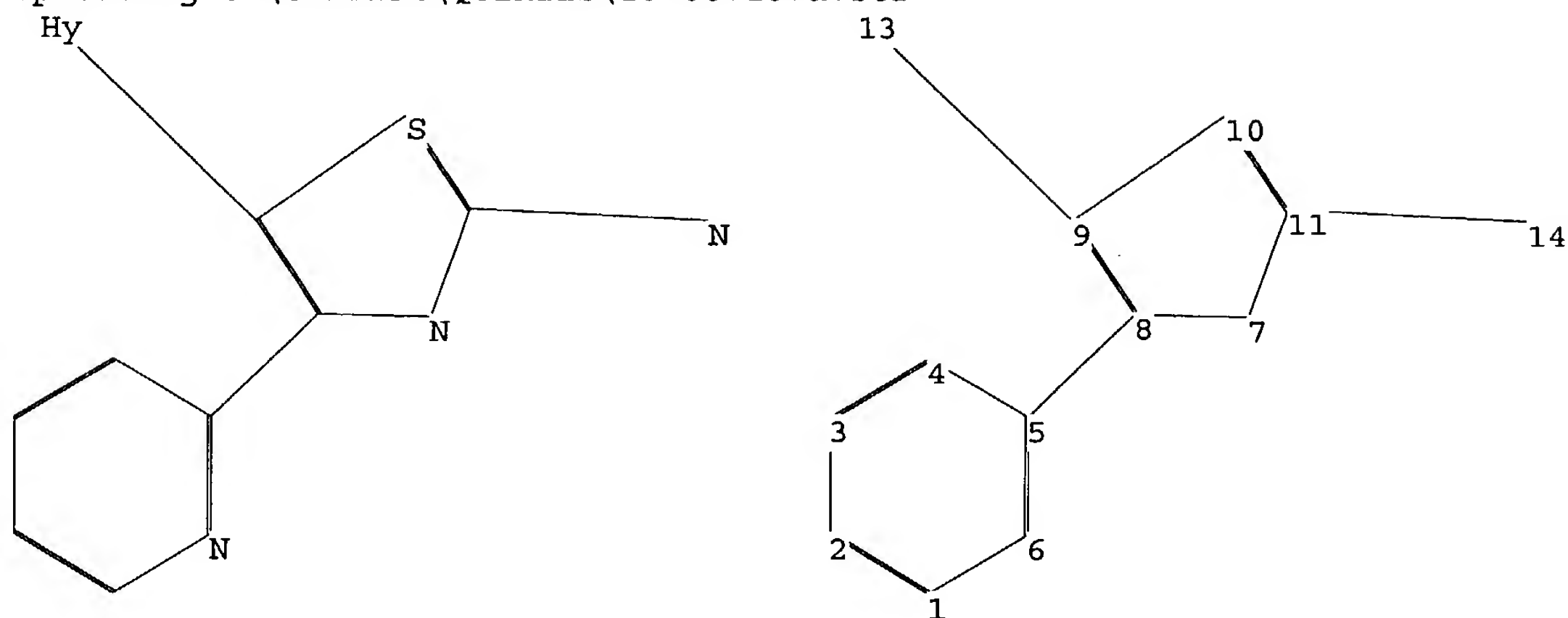


chain bonds :  
 5-8 9-13 11-14  
 ring bonds :  
 1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-11 8-9 9-10 10-11  
 exact/norm bonds :  
 9-10 9-13 10-11 11-14  
 exact bonds :  
 5-8 7-8 7-11 8-9  
 normalized bonds :  
 1-2 1-6 2-3 3-4 4-5 5-6  
 isolated ring systems :  
 containing 1 : 7 :

Match level :  
 1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom  
 11:Atom 13:CLASS 14:CLASS

=>

Uploading C:\STNEXP4\QUERIES\10-667187a.str



chain nodes :  
 13 14  
 ring nodes :  
 1 2 3 4 5 6 7 8 9 10 11  
 chain bonds :  
 5-8 9-13 11-14  
 ring bonds :  
 1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-11 8-9 9-10 10-11  
 exact/norm bonds :  
 7-8 7-11 9-13 11-14  
 exact bonds :  
 5-8 8-9 9-10 10-11  
 normalized bonds :  
 1-2 1-6 2-3 3-4 4-5 5-6  
 isolated ring systems :

containing 1 : 7 :

Match level :

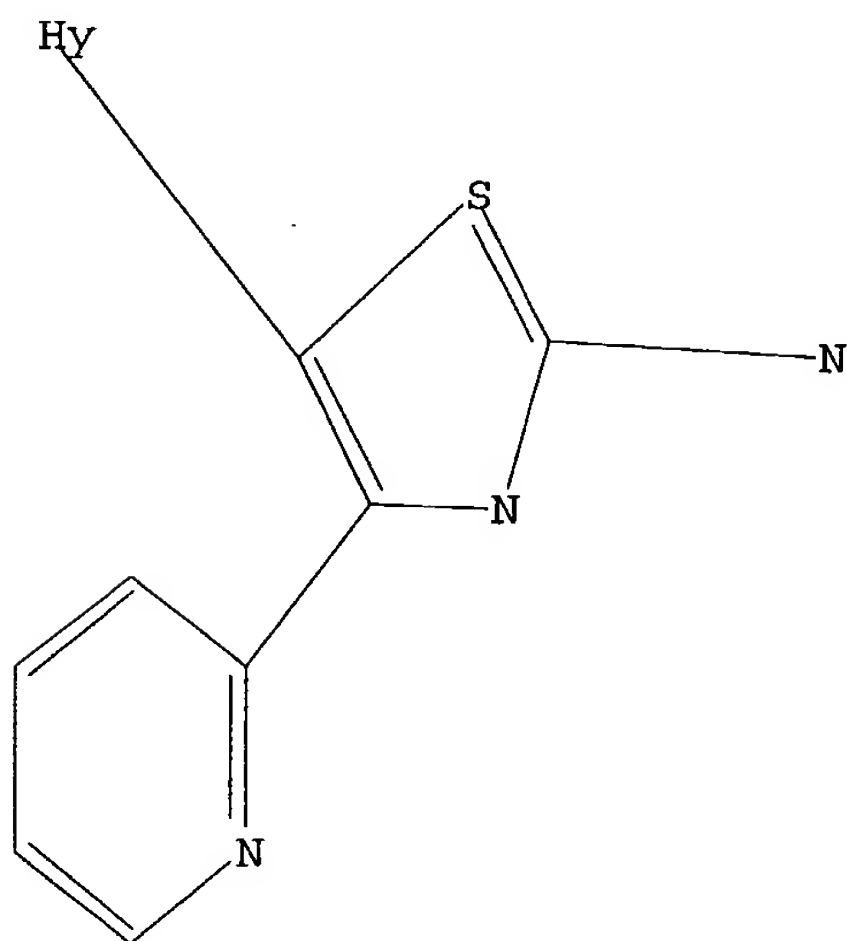
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom  
11:Atom 13:CLASS 14:CLASS

L4 STRUCTURE UPLOADED

=> d

L4 HAS NO ANSWERS

L4 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l4 ful

FULL SEARCH INITIATED 11:06:06 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 157 TO ITERATE

100.0% PROCESSED 157 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

L5 0 SEA SSS FUL L4

=> fil stnguide

COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION

FULL ESTIMATED COST

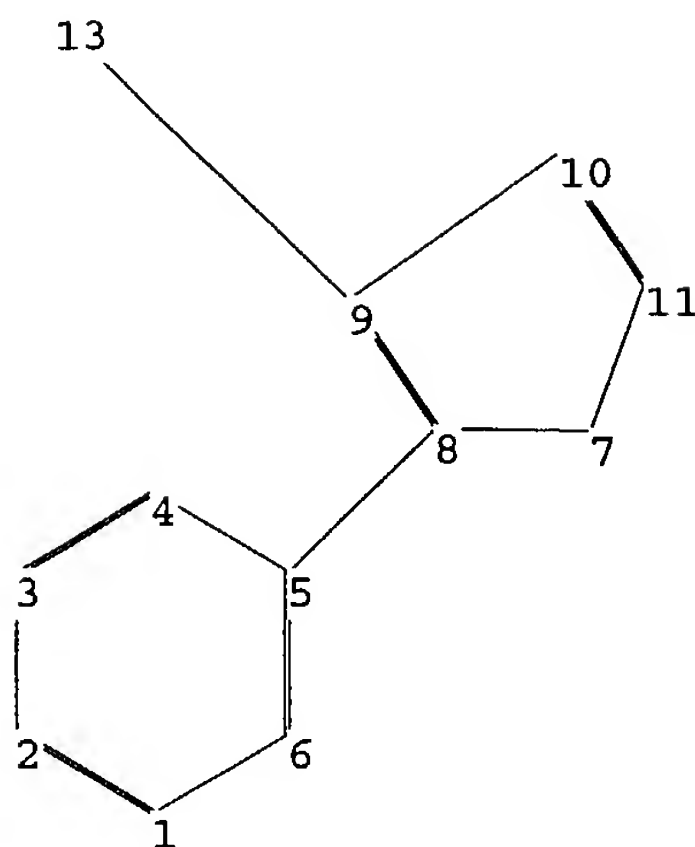
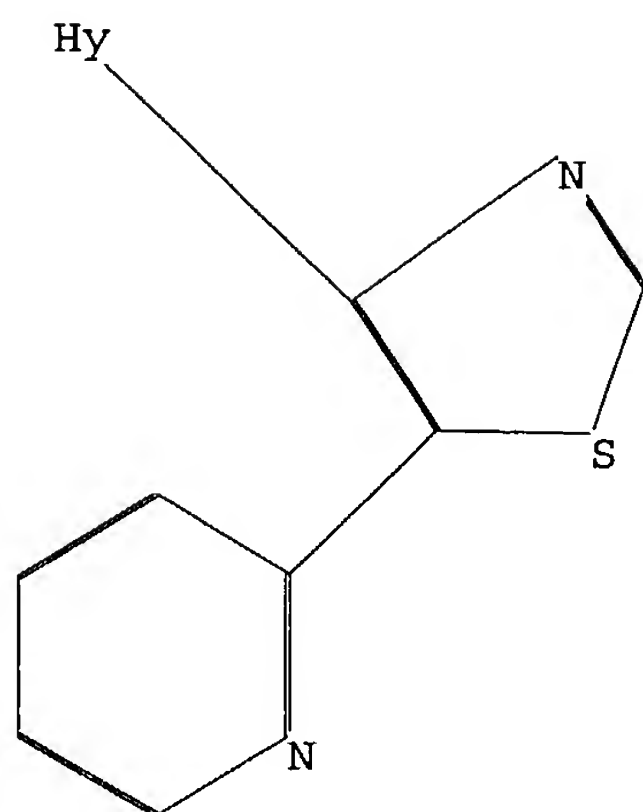
155.42	330.80
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DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE	TOTAL
ENTRY	SESSION

CA SUBSCRIBER PRICE

0.00	-2.80
------	-------



chain nodes :

13

ring nodes :

1 2 3 4 5 6 7 8 9 10 11

chain bonds :

5-8 9-13

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-11 8-9 9-10 10-11

exact/norm bonds :

9-10 9-13 10-11

exact bonds :

5-8 7-8 7-11 8-9

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6

isolated ring systems :

containing 1 : 7 :

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom

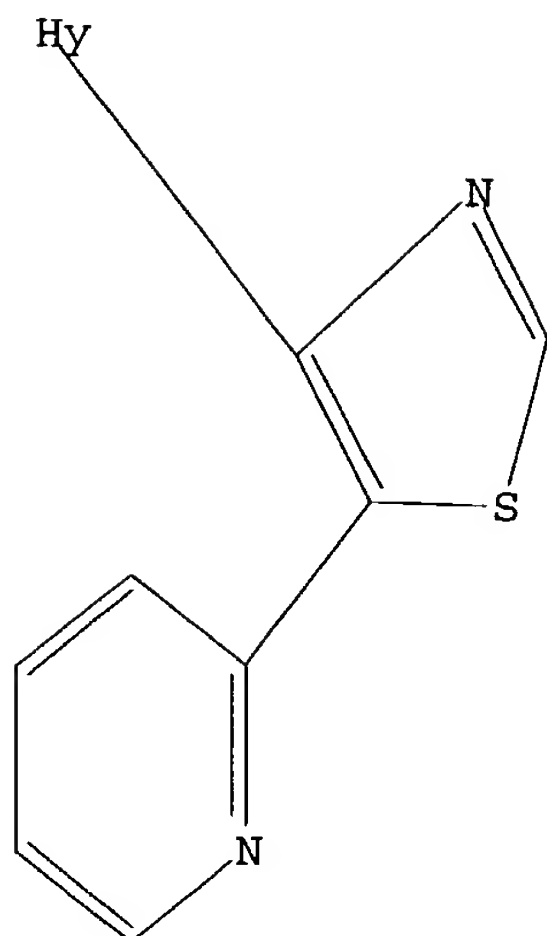
11:Atom 13:CLASS

L6 STRUCTURE UPLOADED

=> d

L6 HAS NO ANSWERS

L6 STR



Structure attributes must be viewed using STN Express query preparation.

=> s 16 ful  
 FULL SEARCH INITIATED 11:10:19 FILE 'REGISTRY'  
 FULL SCREEN SEARCH COMPLETED - 1236 TO ITERATE

100.0% PROCESSED 1236 ITERATIONS 18 ANSWERS  
 SEARCH TIME: 00.00.01

L7 18 SEA SSS FUL L6

=> fil caplus		
COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	155.42	486.58
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
	ENTRY	SESSION
CA SUBSCRIBER PRICE	0.00	-2.80

FILE 'CAPLUS' ENTERED AT 11:10:23 ON 24 AUG 2004  
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STRUCTURE FILE UPDATES: 23 AUG 2004 HIGHEST RN 731771-88-3  
 DICTIONARY FILE UPDATES: 23 AUG 2004 HIGHEST RN 731771-88-3

TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

Please note that search-term pricing does apply when  
 conducting SmartSELECT searches.

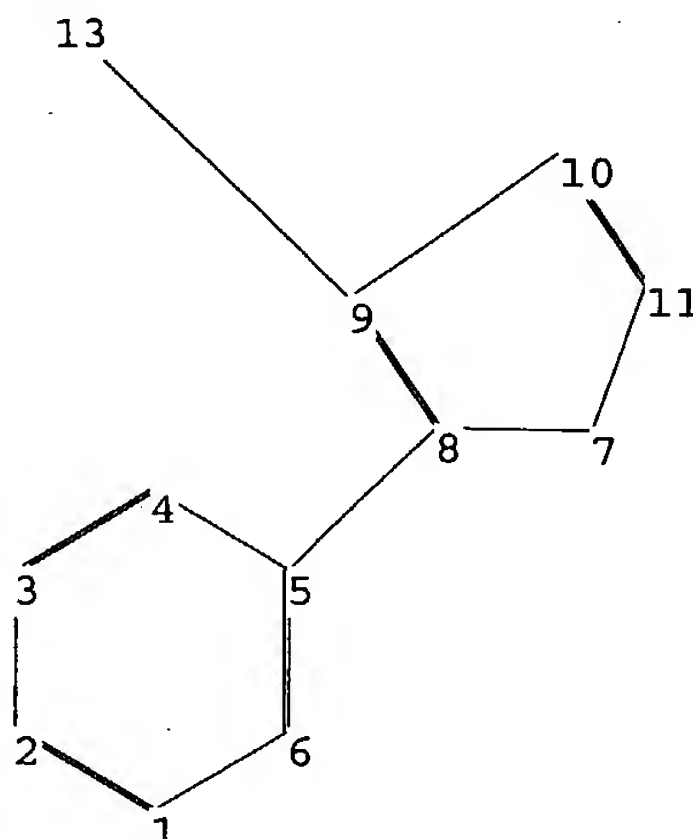
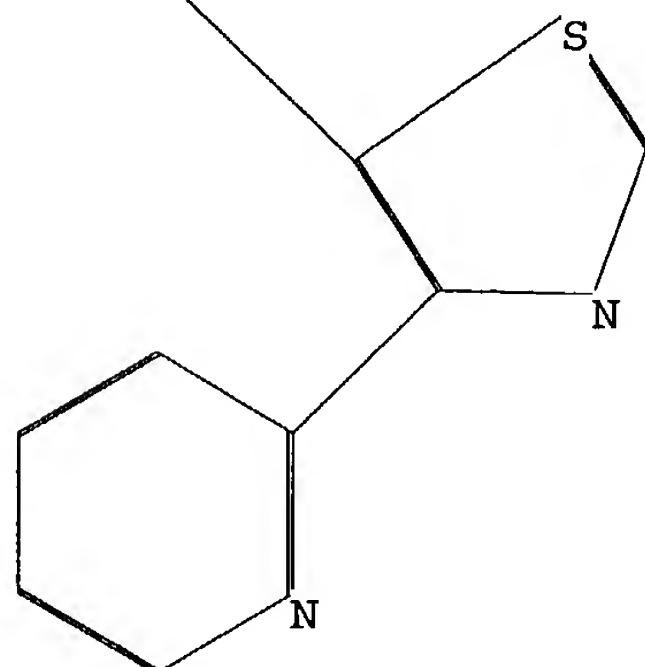
Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more  
 information enter HELP PROP at an arrow prompt in the file or refer  
 to the file summary sheet on the web at:  
<http://www.cas.org/ONLINE/DBSS/registryss.html>

=>

Uploading C:\STNEXP4\QUERIES\10-667187d.str

Hy



chain nodes :

13

ring nodes :

1 2 3 4 5 6 7 8 9 10 11

chain bonds :

5-8 9-13

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-11 8-9 9-10 10-11

exact/norm bonds :

7-8 7-11 9-13

exact bonds :

5-8 8-9 9-10 10-11

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6

isolated ring systems :

containing 1 : 7 :

Match level :

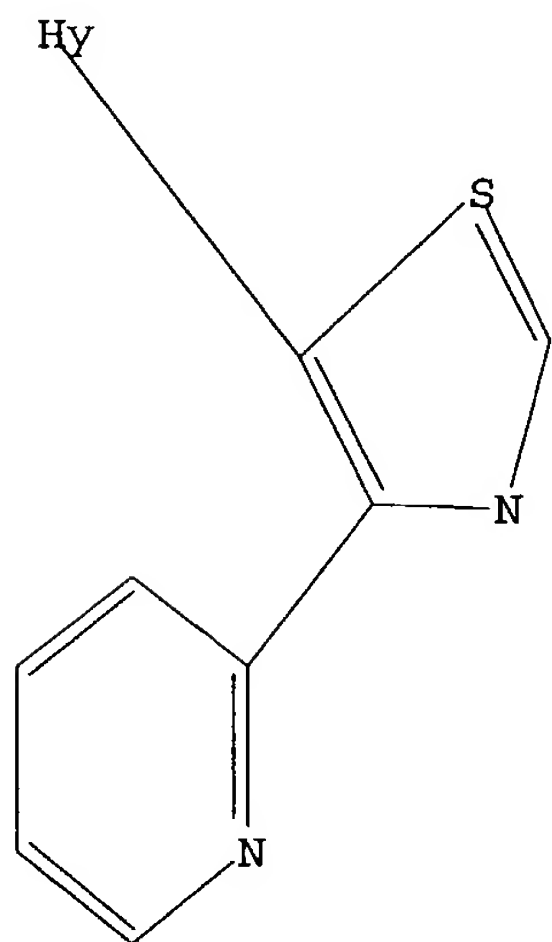
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom  
11:Atom 13:CLASS

L11 STRUCTURE UPLOADED

=> d

L11 HAS NO ANSWERS

L11 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l11 ful

FULL SEARCH INITIATED 11:11:20 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 2172 TO ITERATE

100.0% PROCESSED 2172 ITERATIONS  
SEARCH TIME: 00.00.01

0 ANSWERS

L12 0 SEA SSS FUL L11

=>

---Logging off of STN---

=>

Executing the logoff script...